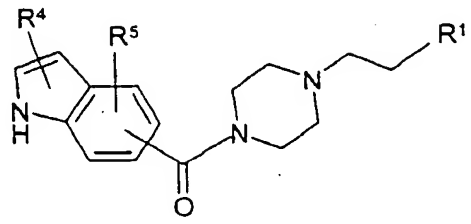
Patent Claims

1. Compounds of the formula I



I

in which

R^1 is a phenyl or naphthyl radical which is unsubstituted or substituted by R^2 and/or R^3
or is Het^1,

R^2, R^3 in each case independently of one another are Hal, A, OA, OH or CN,

R^4, R^5 in each case independently of one another are H, CN, acyl, Hal, A, OA, OH, $CONH_2$, CONHA or $CONA_2$,

R^4 and R^5 together are also alkylene having 3-5 C atoms,

Het^1 is a mono- or binuclear unsaturated heterocyclic ring system, which is unsubstituted or mono- or disubstituted by Hal, A, OA or OH and contains one, two or three identical or different heteroatoms such as nitrogen, oxygen and sulfur,

A is alkyl having 1-6 C atoms,

Hal is F, Cl, Br or I,

and where the indole ring can also be replaced an isatin unit,

and their physiologically acceptable salts and solvates,

(1*H*-indol-5-yl)-(4-phenethylpiperazin-1-yl)methanone being excluded.

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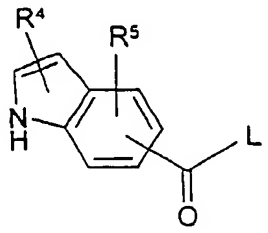
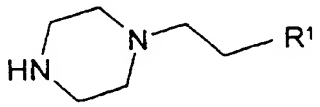
2. Process for the preparation of compounds of the formula I according to Claim 1, (1*H*-indol-5-yl)-(4-phenethylpiperazin-1-yl)methanone being excluded, characterized in that

a) a compound of the formula II



II

in which L is Cl, Br, I or a free or reactive functionally modified OH group,
and R^4 and R^5 have the meaning indicated in Claim 1,
is reacted with a compound of the formula III

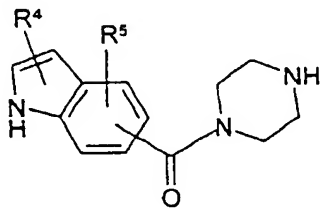


III

in which R^1 has the meaning indicated in Claim 1,

or

b) a compound of the formula IV



IV

in which R^4 and R^5 have the meaning indicated in Claim 1,
is reacted with a compound of the formula V

$$L\text{-}CH_2\text{-}CH_2\text{-}R^1 \qquad V$$

in which L is Cl, Br, I or a free or reactive functionally modified OH group, and R^1 has the meaning indicated in Claim 1,

or

c) if appropriate, one of the radicals R^1, R^4 and/or R^5 is converted into another radical R^1, R^4 and/or R^5 by cleaving, for example, an OA group with formation of an OH group and/or converting a CHO group into a CN group,

and/or
a base of the formula I which is obtained is converted into one of its salts by treating with an acid.

3. Compounds of the formula I according to Claim 1, and their physiologically acceptable salts and solvates as medicaments, (1*H*-indol-5-yl)-(4-phenethylpiperazin-1-yl)methanone being excluded.

4. Compounds of the formula I according to Claim 1, and their physiologically acceptable salts and solvates as medicaments having 5-HT_{2A} receptor-antagonistic action.

5. Medicaments according to Claim 4 for the treatment of psychoses, schizophrenia, depression, neurological disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders such as bulimia, nervous anorexia, premenstrual syndrome and/or for positively affecting compulsive behaviour (obsessive-compulsive disorder, OCD).

6. Pharmaceutical preparation, comprising at least one medicament according to Claim 5, and also, if

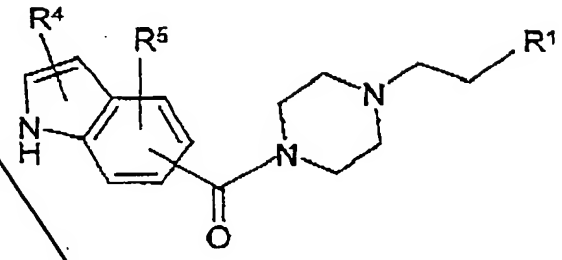
appropriate, vehicles and/or excipients and, if appropriate, other active compounds.

7. Use of compounds according to Claim 1 and/or of their physiologically acceptable salts and solvates for the production of a medicament having 5-HT_{2A} receptor-antagonistic action.

8. Use according to Claim 7 for the production of a medicament for the treatment of psychoses, schizophrenia, depression, neurological disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders such as bulimia, nervous anorexia, premenstrual syndrome and/or for positively affecting compulsive behaviour (obsessive-compulsive disorder, OCD).

Patent Claims

1. Compounds of the formula I



I

in which

R^1 is a phenyl or naphthyl radical, each of which is unsubstituted or substituted by R^2 and/or R^3, or is Het^1,

R^2 and R^3 are each, independently of one another, Hal, A, OA, OH or CN,

R^4 is H, CN, acyl, Hal, A, OA, OH, $CONH_2$, CONHA or $CONA_2$,

R^5 is H,

R^4 and R^5 together are alternatively alkylene having 3-5 carbon atoms,

Het^1 is a monocyclic or bicyclic unsaturated heterocyclic ring system which is unsubstituted or monosubstituted or disubstituted by Hal, A, OA or OH and which contains one, two or three identical or different heteroatoms, such as nitrogen, oxygen and sulfur,

A is alkyl having 1-6 carbon atoms,

Hal is F, Cl, Br or I,

and where the indole ring may also be replaced by an isatin unit, and physiologically acceptable salts and solvates thereof,

where (1*H*-indol-5-yl)(4-phenethylpiperazin-1-yl)methanone and 1-((5-methoxy-1*H*-indol-7-yl)carbonyl)-4-(2-phenylethyl)piperazine are excluded.

2. Process for the preparation of compounds of the formula I according to Claim 1, where (1*H*-indol-5-yl)(4-phenethylpiperazin-1-yl)methanone

and 1-((5-methoxy-1*H*-indol-7-yl)carbonyl)-4-(2-phenylethyl)piperazine are excluded, characterised in that

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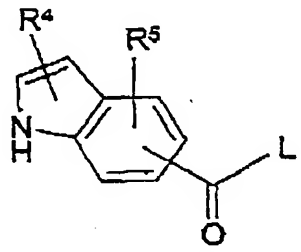
a) a compound of the formula II



II

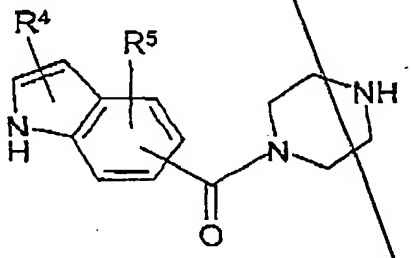
in which L is Cl, Br, I or a free or reactively functionally modified OH group,
and R^4 and R^5 are as defined in Claim 1,
is reacted with a compound of the formula III

III

in which R^1 is as defined in Claim 1,

or

b) a compound of the formula IV



IV

in which R^4 and R^5 are as defined in Claim 1,

is reacted with a compound of the formula V

$$L\text{-}CH_2\text{-}CH_2\text{-}R^1 \qquad V$$

in which L is Cl, Br, I or a free or reactively functionally modified OH group, and R^1 is as defined in Claim 1,

C8 cont

or

c) if desired, one of the radicals R^1, R^4 and/or R^5 is converted into another radical R^1, R^4 and/or R^5 by, for example, cleaving an OA group to form an OH group and/or converting a CHO group into a CN group,

and/or
a resultant base of the formula I is converted into one of its salts by treatment with an acid.

3. Compounds of the formula I according to Claim 1, and their physiologically acceptable salts and solvates, where (1*H*-indol-5-yl)(4-phenethylpiperazin-1-yl)methanone and 1-((5-methoxy-1*H*-indol-7-yl)carbonyl)-4-(2-phenylethyl)piperazine are excluded, as medicaments.

4. Compounds of the formula I according to Claim 1, and their physiologically acceptable salts and solvates, as medicaments having a 5-HT_{2A} receptor antagonistic action.

5. Medicament according to Claim 4 for the treatment of psychoses, schizophrenia, depression, neurological disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders, such as bulimia, nervous anorexia, premenstrual syndrome and/or for positively influencing obsessive-compulsive disorder (OCD).

6. Pharmaceutical preparation comprising at least one medicament according to Claim 5, and, if desired, excipients and/or assistants and, if desired, other active ingredients.

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7. Use of compounds according to Claim 1 and/or of physiologically acceptable salts and solvates thereof for the preparation of a medicament having a 5-HT_{2A} receptor antagonistic action.

8. Use according to Claim 7 for the preparation of a medicament for the treatment of psychoses, schizophrenia, depression, neurological disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders, such as bulimia, nervous anorexia, premenstrual syndrome and/or for positively influencing obsessive-compulsive disorder (OCD).

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